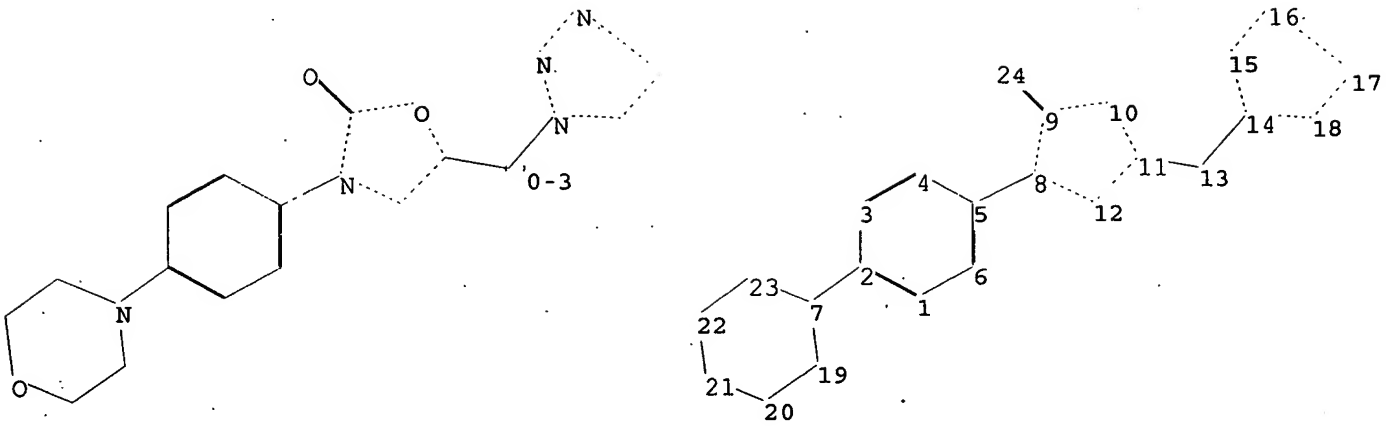

chain nodes :
13 24
ring nodes :
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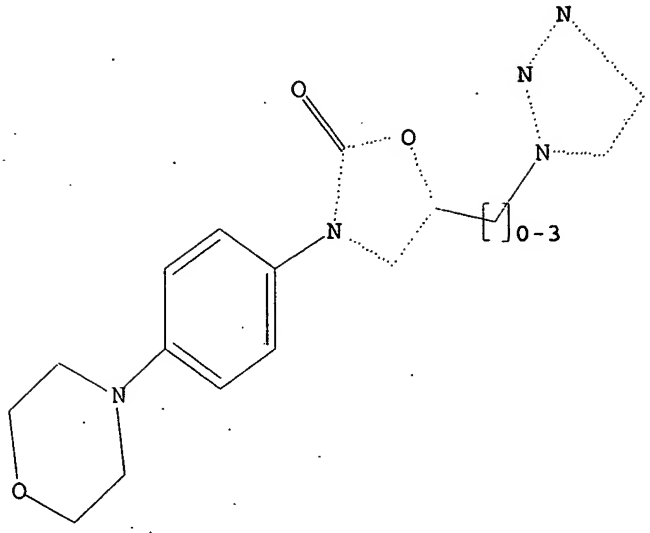
chain bonds :
2-7 5-8 9-24 11-13 13-14
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-19 7-23 8-9 8-12 9-10 10-11 11-12 14-15 14-18
15-16 16-17 17-18 19-20 20-21 21-22 22-23
exact/norm bonds :
2-7 5-8 7-19 7-23 8-9 8-12 9-10 9-24 10-11 11-12 13-14 14-15 14-18 15-16
16-17 17-18 19-20 20-21 21-22 22-23
exact bonds :
11-13
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:Atom 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom
22:Atom 23:Atom 24:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED -     10 TO ITERATE

100.0% PROCESSED      10 ITERATIONS                                 2 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:           11 TO      389
PROJECTED ANSWERS:               2 TO      124

L2             2 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 10:13:05 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    158 TO ITERATE

100.0% PROCESSED     158 ITERATIONS                                32 ANSWERS
SEARCH TIME: 00.00.01

L3            32 SEA SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS                                  SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     161.33     161.54

FILE 'CAPLUS' ENTERED AT 10:13:13 ON 17 JUN 2005
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FILE COVERS 1907 - 17 Jun 2005 VOL 142 ISS 26
FILE LAST UPDATED: 16 Jun 2005 (20050616/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 5 L3

=> d ed abs ibib hitstr L4 1-5

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 16 Sep 2004
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Bifunctional heterocyclic glycosides I were prepared, wherein X is a linear linker; Y is heterocycle; J is H, macrocycle, acyl, Ln-alkyl, Ln-alkenyl, Ln-alkynyl; Ln-aromatic carbocycle, L is CO, CO2, amide; n is 0-1; R1-R3 are independently H, Ln-alkyl, Ln-alkenyl, Ln-alkynyl; Ln-aromatic; R2R3 together with the N atom to which they are bonded, form 5-7 membered saturated, unsatd., or aromatic heterocycle; useful as anti-infective, anti-proliferative, anti-inflammatory, and prokinetic agents. Thus, macrolide glycoside II was prepared as anti-infective, anti-proliferative, anti-inflammatory, and prokinetic agent (no biol. data).
ACCESSION NUMBER: 2004:756728 CAPLUS
DOCUMENT NUMBER: 141:260999
TITLE: Preparation of bifunctional heterocyclic azithromycin compounds useful as anti-infective, anti-proliferative, anti-inflammatory, and prokinetic agents
INVENTOR(S): Farmer, Jay J.; Sutcliffe, Joyce A.; Bhattacharjee, Ashoke
PATENT ASSIGNEE(S): Rib-X Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 161 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004078770	A1	20040916	WO 2004-US6892	20040305

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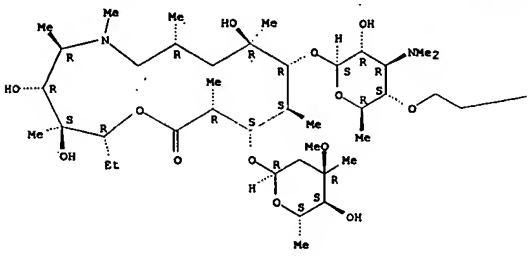
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-451951P P 20030305
OTHER SOURCE(S): MARPAT 141:260999
IT 756825-25-9P
RL: BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bifunctional heterocyclic azithromycin compds. useful as antiinfective antiproliferative antiinflammatory and prokinetic agents)
RN 756825-25-9 CAPLUS
CN 1-Oxa-6-azacyclopentadecan-15-one, 11-[[3,6-dideoxy-3-(dimethylamino)-4-O-

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
[2-[1-[[(5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]ethyl]-β-D-glucopyranosyl]oxy]-13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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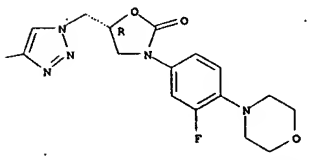

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 09 Apr 2004
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention provides a family of bifunctional heterocyclic compds., e.g., I [A = C, C(:O), N (with proviso, that at least one A = C); B = O, NR2, S(O)r, C(:O), C(:S), C(:NOR3); p = 0, 1; q = 0, 1; r = 0 - 2; R2 = H, S(O)rR4, CHO, C1-8-alkyl; C2-8-alkenyl, C2-8-alkynyl, C1-8-alkoxy, C1-8-alkylthio, C1-8-acyl, (un)saturated or aromatic C3-8-carbocycle, (un)saturated or aromatic 5 to 10-membered heterocycle (containing one or more N, S, O); NR2R2 = 5 to 8-membered (un)saturated carbocycle or heterocycle (containing one or more N, S, O); R3 = H, C1-8-alkyl; C2-8-alkenyl, C2-8-alkynyl, C1-8-acyl, (un)saturated or aromatic C3-8-carbocycle, (un)saturated or aromatic 5 to 7-membered heterocycle (containing one or more N, S, O); NR3R3 = 5 to (un)saturated 7-membered carbocycle or heterocycle (containing one or more N, S, O); R4 = H, NR3R3, NR3OR3, NR3NR3R3, NHCOR3, C(:O)NR3R3, C1-8-alkyl; C2-8-alkenyl, C2-8-alkynyl, etc.; D = D1, D2, D3, D4; E = di- or penta-substituted Ph, substituted 4-vinylphenyl; G = C1-4-alkyl, C5-8-alkyl, C2-8-alkenyl, C2-8-alkynyl, C1-8-alkoxy, C1-8-alkylthio, C1-8-acyl, (un)saturated or aromatic C5-10-carbocycle, (un)saturated or aromatic 5 to 10-membered heterocycle (containing one or more N, S, O); Z = C,N,O,S; dashed line = single or double bond] or a pharmaceutically acceptable salt, ester or prodrug thereof, useful as antiinfective, antiproliferative, antiinflammatory and prokinetic agents (no data). The invention also provides methods of making the bifunctional hetercyclic compds., and methods of using such compds. as antiinfective, antiproliferative, antiinflammatory and/or prokinetic agents. Thus, erythromycin derivative II was prepared from N-(desmethylerythromycin), via N-alkylation with HC.tplbond.CCH2CH2OTs, and cycloaddn. with azide III.
ACCESSION NUMBER: 2004:292029 CAPLUS
DOCUMENT NUMBER: 140:321158
TITLE: Methods of preparation of bifunctional heterocyclic compounds for use as antiinfective, antiproliferative, antiinflammatory and prokinetic agents
INVENTOR(S): Wang, Deping; Sutcliffe, Joyce A.; Oyelere, Adegboyega K.; Mcconnell, Timothy S.; Ippolito, Joseph A.; Abelson, John N.
PATENT ASSIGNEE(S): Rib-X Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 363 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004029066	A2	20040408	WO 2003-US30478	20030925
WO 2004029066	C1	20040513		
WO 2004029066	A3	20040826		

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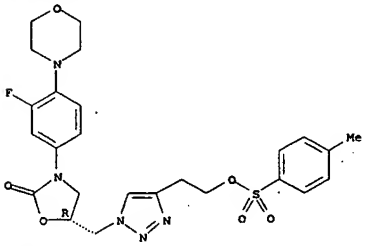
L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-414207P P 20020926
US 2003-448216P P 20030219
OTHER SOURCE(S): MARPAT 140:321158
IT 677726-23-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and N-alkylation by, of des(N-methyl)erythromycin; preparation of bifunctional heterocyclic compds. for use as antiinfective, antiproliferative, antiinflammatory and prokinetic agents)
RN 677726-23-7 CAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-(4-morpholinyl)phenyl]-5-[[4-[2-[[(4-methylphenyl)sulfonyl]oxy]ethyl]-1H-1,2,3-triazol-1-yl]methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

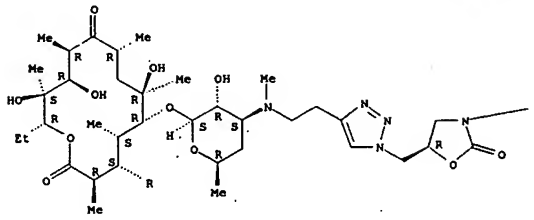


IT 677726-15-7P
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation and N-dealkylation of; preparation of bifunctional heterocyclic compds. for use as antiinfective, antiproliferative, antiinflammatory and prokinetic agents)
RN 677726-15-7 CAPLUS
CN Erythromycin, N-demethyl-N-[2-[1-[[(5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A



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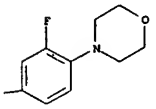

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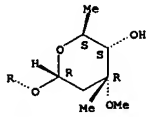


IT 677726-17-9P 677726-86-2P
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation and hydrolysis of; preparation of bifunctional heterocyclic compds. for use as antiinfective, antiproliferative, antiinflammatory and prokinetic agents)

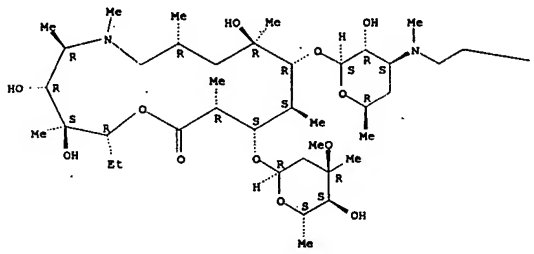
RN 677726-17-9 CAPLUS

CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-[[2-[1-[[(5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]ethyl]methylamino]-β-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A



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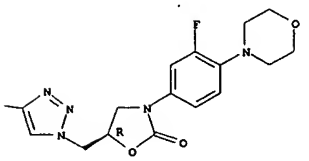


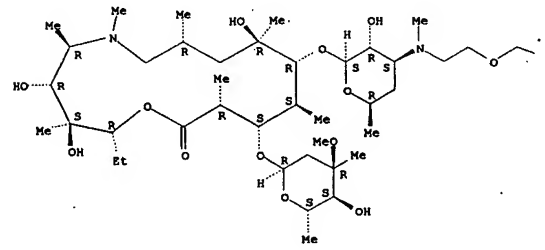
RN 677726-86-2 CAPLUS

CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-[[2-[[1-[[(5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]methoxy]ethyl]methylamino]-β-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Absolute stereochemistry.

PAGE 1-A



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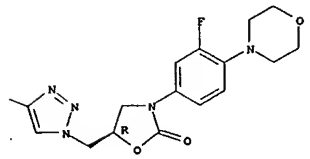


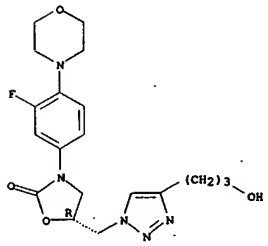
IT 677726-19-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and tosylation of; preparation of bifunctional heterocyclic compds. for use as antiinfective, antiproliferative, antiinflammatory and prokinetic agents)

RN 677726-19-1 CAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-(4-morpholinyl)phenyl]-5-[[4-(3-hydroxypropyl)-1H-1,2,3-triazol-1-yl]methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

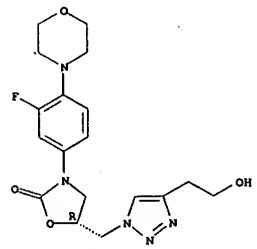


IT 677726-37-3P
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation and tosylation of; preparation of bifunctional heterocyclic compds. for use as antiinfective, antiproliferative, antiinflammatory and prokinetic agents)

RN 677726-37-3 CAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-(4-morpholinyl)phenyl]-5-[[4-(2-hydroxyethyl)-1H-1,2,3-triazol-1-yl]methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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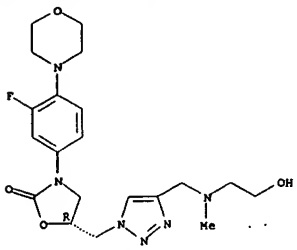
677726-52-2P 677726-53-3P 677726-78-2P
677726-83-9P 677726-88-4P 677726-89-5P
677727-96-7P 677727-97-8P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bifunctional heterocyclic compds. for use as antiinfective,

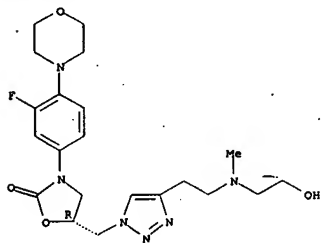
L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
antiproliferative, antiinflammatory and prokinetic agents)
RN 677726-11-3 CAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-(4-morpholinyl)phenyl]-5-[[4-[[(2-hydroxyethyl)methylamino]methyl]-1H-1,2,3-triazol-1-yl]methyl]-, (5R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



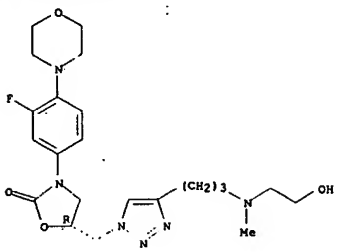
RN 677726-12-4 CAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-(4-morpholinyl)phenyl]-5-[[4-[2-[(2-hydroxyethyl)methylamino]ethyl]-1H-1,2,3-triazol-1-yl]methyl]-, (5R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



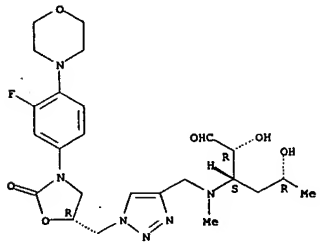
RN 677726-13-5 CAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-(4-morpholinyl)phenyl]-5-[[4-[3-[(2-hydroxyethyl)methylamino]propyl]-1H-1,2,3-triazol-1-yl]methyl]-, (5R)-(9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Absolute stereochemistry.



RN 677726-14-6 CAPLUS
CN D-xylo-Hexose, 3,4,6-trideoxy-3-[[[1-[[(5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]methyl]methylamino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

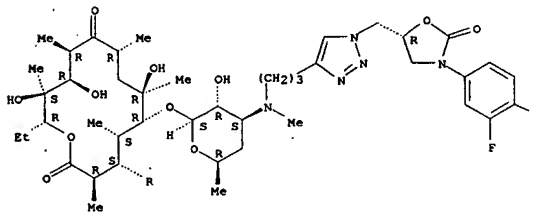


RN 677726-16-8 CAPLUS
CN Erythromycin, N-demethyl-N-[3-[1-[[(5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A



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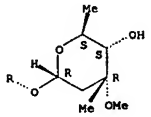
PAGE 2-A

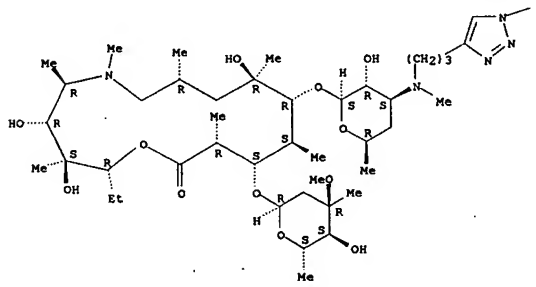


RN 677726-18-0 CAPLUS
CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-[[3-[1-[[(5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]propyl]methylamino]-β-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A



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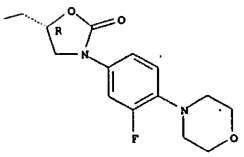

RN 677726-26-0 CAPLUS
CN 1-Oxa-6-azacyclopentadecan-15-one, 2-ethyl-3,4,10,13-tetrahydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-[[2-[1-[[(5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]ethyl]methylamino]-β-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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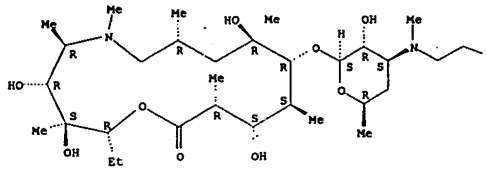

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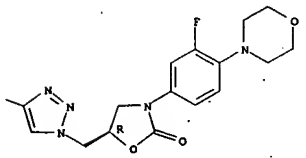

RN 677726-33-9 CAPLUS
CN Erythromycin, N-demethyl-N-[2-[1-[[(5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]ethyl]-6-O-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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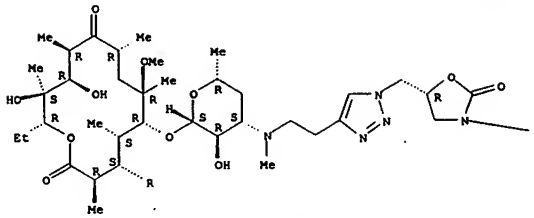

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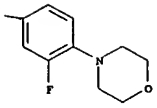

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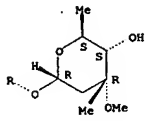

RN 677726-36-2 CAPLUS
CN Erythromycin, 3-de[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-N-demethyl-N-[2-[1-[[(5R)-3-[3-fluoro-4-(4-

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]ethyl]-6-O-methyl-3-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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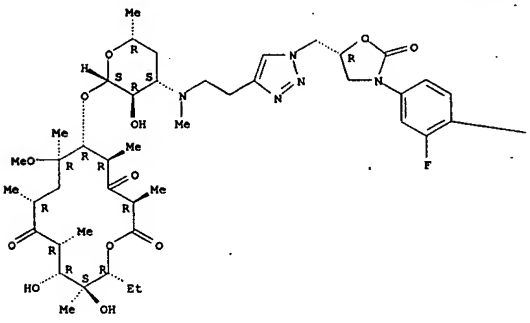


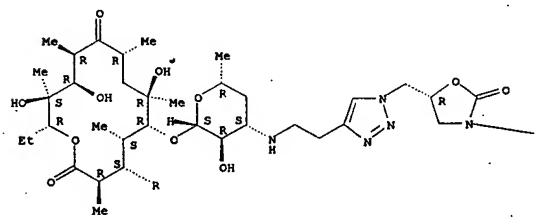
PAGE 1-B

RN 677726-52-2 CAPLUS
CN Erythromycin, N,N-didemethyl-N-[2-[1-[[(5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A



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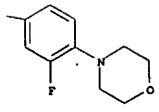

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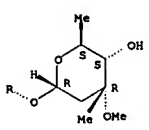
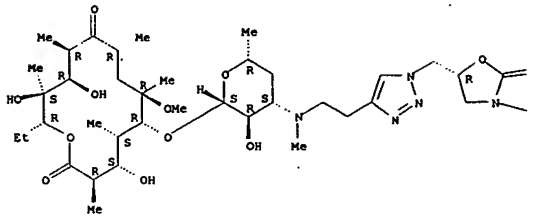


RN 677726-53-3 CAPLUS
CN Erythromycin, 3-O-de(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)-N-demethyl-N-[2-[1-[[(5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]ethyl]-6-O-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A



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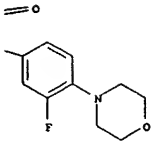
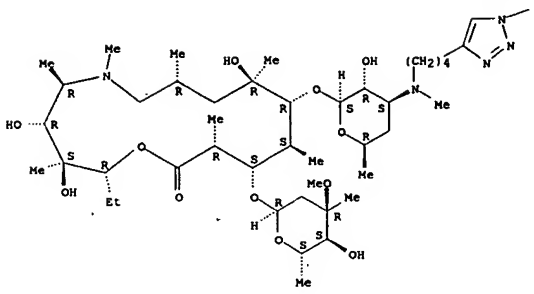


RN 677726-78-2 CAPLUS
CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-[[4-[1-[[(5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]butyl]methylamino]-β-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A



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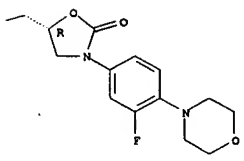
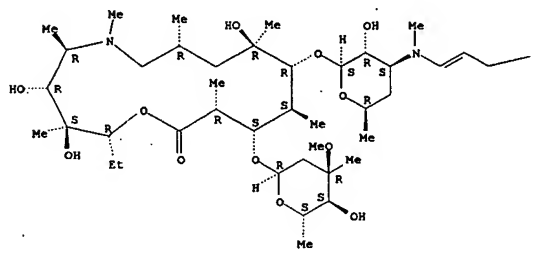


RN 677726-83-9 CAPLUS
CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-[[3-[1-[[(5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]-1-propenyl]methylamino]-β-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A



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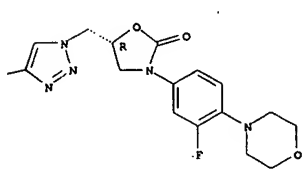

RN 677726-88-4 CAPLUS
CN 1-Oxa-6-azacyclopentadecan-15-one, 2-ethyl-3,4,10,13-tetrahydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-[[2-[[1-[[(5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]methoxy]ethyl]methylamino]-β-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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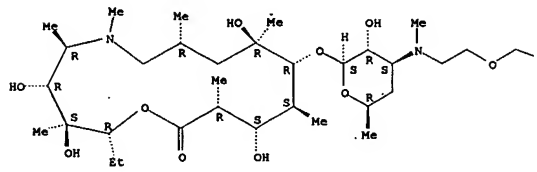

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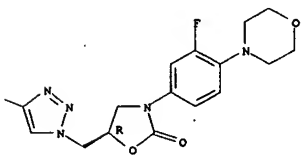
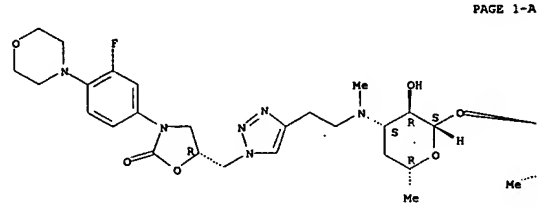


RN 677726-89-5 CAPLUS
CN 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethyloctahydro-11-methoxy-3a,7,9,11,13,15-hexamethyl-10-[[3,4,6-trideoxy-3-[[2-[1-[[(5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]ethyl]methylamino]-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,11R,13R,15S,15aR)- (9CI) (CA INDEX NAME)

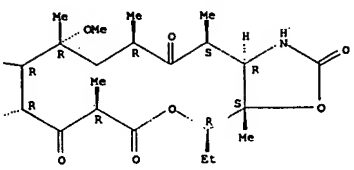
Absolute stereochemistry.

PAGE 1-A



L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

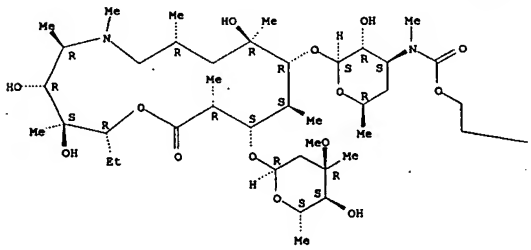
PAGE 1-B



RN 677727-96-7 CAPLUS
CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-[[[2-[1-[[(5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]ethoxy]carbonyl]methylamino]-β-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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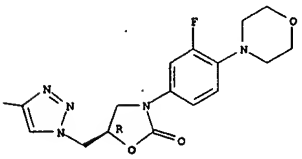
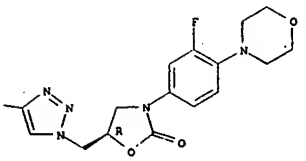


L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-B



RN 677727-97-8 CAPLUS
CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-[[[2-[1-[[(5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]ethoxy]carbonyl]amino]-β-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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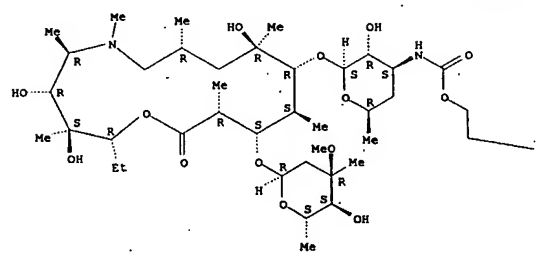
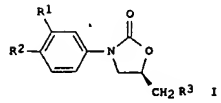


L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 29 Jul 2003
GI



AB Title compds. I (R1 = H, halo, alkyl, or haloalkyl; R2 = morpholinyl, piperidinyl or its derivative, or 4-substituted piperazinyl; R3 = OH, SH, acyloxy, sulfonyloxy, acylamino, diacylimino, pentabasic heterocyclic group or its derivs.; and when R1 = F, R2 or R3 = morpholinyl or acetamido), useful as antibacterial agents against Gram-pos. bacteria, are prepared For example, (R)-3-(3-fluoro-4-(4-morpholinyl)phenyl]-5-(hydroxynethyl)-2-oxazolidinone was converted to mesylate, condensed with potassium phthalimide , and treated with aqueous MeNH2 to give the bactericide linezolid.

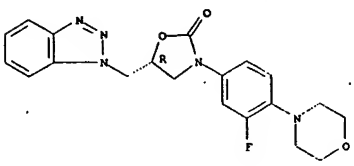
ACCESSION NUMBER: 2003:576097 CAPLUS
DOCUMENT NUMBER: 139:85332
TITLE: Preparation of oxazolidone derivatives as antibacterial agents
INVENTOR(S): Liu, Jun; Meng, Qingguo; Jin, Jie; Wu, Yanbin
PATENT ASSIGNEE(S): Institute of Medical and Biological Technology, Chinese Academy of Medical Sciences, Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 50 pp. CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1355165	A	20020626	CN 2001-144613	20011219
PRIORITY APPLN. INFO.:			CN 2001-144613	20011219

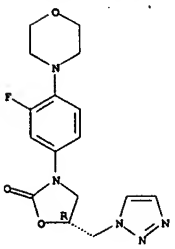
OTHER SOURCE(S): CASREACT 139:85332; MARPAT 139:85332
IT 556801-07-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of oxazolidone derivs. as antibacterial agents)
RN 556801-07-1 CAPLUS
CN 2-Oxazolidinone, 5-(1H-benzotriazol-1-ylmethyl)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



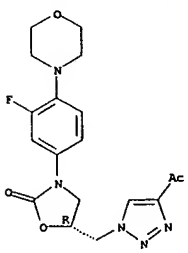
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 20 Jun 2003
AB PH-027 is a new 5-triazole oxazolidinone synthesized that showa strong activity against Gram-pos. aerobic bacteria, including clin. isolates. The objective of this study was to investigate the in vitro activity of this compound in comparison with linezolid and other antibiotics against Gram-pos. and Gram-neg. anaerobes. The in vitro activity of PH-027 in comparison with those of linezolid and other antimicrobial agents waa evaluated against 201 clin. isolates of Gram-pos. and Gram-neg. anaerobic bacteria by agar dilution and Eteat methods. PH-027 showed excellent activity, with min. inhibitory concns. (MIC) in the range of 0.12-4.0 μg/mL against all isolates; MIC90s being 4.0, 1.0, 2.0, 2.0 and 2.0 μg/mL against Cloatridium difficile, Peptostreptococcus app., Bacteroides fragilia, Prevotella bivia and Fusobacterium spp. resp. In compariaon, linezolid had MIC in the range of 0.5-4.0 μg/mL against all isolates, with MIC90s of 2.0, 4.0, 4.0, 4.0 and 2.0 μg/mL against the aame set of bacteria resp. PH-027 demonstrated excellent in vitro activity that is superior to linezolid against Peptostreptococcus spp., B. fragilis and P. bivia. However, againat C. difficile and Fuaobacterium spp, PH-027 and linezolid showed comparable in vitro activity. Against all anaerobes, metronidazole, PH-027 and, to a lesaer extent, linezolid had the moat potent activity. From the results of in vitro susceptibility teating, both linezolid and PH-027 show promise in the treatment of anaerobic infections.
ACCESSION NUMBER: 2003:471767 CAPLUS
DOCUMENT NUMBER: 139:49714
TITLE: Comparative in vitro activity of PH-027 versua linezolid and other anti-anaerobic antimicrobials against clinical isolates of Clostridium difficile and other anaerobic bacteria
AUTHOR(S): Phillips, O. A.; Rotimi, V. O.; Jamal, W. Y.; Shahin, M.; Verghese, T. L.
CORPORATE SOURCE: Department of Pharmaceutical Chemistry, Faculty of Pharmacy, Kuwait University, Kuwait
SOURCE: Journal of Chemotherapy (Firenze, Italy) (2003), 15(2), 113-117
CODEN: JCHEEU; ISSN: 1120-009X
PUBLISHER: E.I.F.T. srl
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 503090-32-2, PH 027
RL: BSU (Biological study, unclassified); BIOL (Biological study) (comparative in vitro activity of PH-027 vs. other antimicrobials against clin. isolates of Clostridium difficile and other anaerobic bacteria)
RN 503090-32-2 CAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-(4-morpholinyl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 03 Dec 2002
AB A seriea of 5-substituted oxazolidinones with varying substitution at the 5-poaition of the oxazolidinone ring were syntheaized and their in vitro antibacterial activity was evaluated. The compds. demonstrated potent to weak antibacterial activity. A novel compound (PH-027) demonatrated potent antibacterial activity, which is comparable to or better than those of linezolid and vancomycin against antibiotic-suaceptible standard and clin. isolated resistant strains of gram-pos. bacteria. Although the presence of the C-5-acetamidomethyl functionality at the C-5 position of the oxazolidinones has been widely claimed and reported as a structural requirement for optimal antimicrobial activity in the oxazolidinone class of compds., our results from this work identified the C-5 triazole substitution as a new structural alternative for potent antibacterial activity in the oxazolidinone class.
ACCESSION NUMBER: 2002:915641 CAPLUS
DOCUMENT NUMBER: 138:268234
TITLE: Synthesis and antibacterial activity of 5-substituted oxazolidinones
AUTHOR(S): Phillips, O. A.; Udo, E. E.; Ali, A. A. M.; Al-Hassawi, N.
CORPORATE SOURCE: Faculty of Pharmacy, Department of Pharmaceutical Chemistry, Kuwait University, Safat, 13110, Kuwait
SOURCE: Bioorganic & Medicinal Chemistry (2003), 11(1), 35-41
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:268234
IT 503026-25-3P
RL: BSU (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (syntheaia and antibacterial activity of 5-aubatituted oxazolidinones)
RN 503026-25-3 CAPLUS
CN 2-Oxazolidinone, 5-[(4-acetyl-1H-1,2,3-triazol-1-yl)methyl]-3-[3-fluoro-4-(4-morpholinyl)phenyl]-, (5R)- (9CI) (CA INDEX NAME)

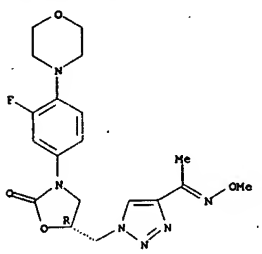
Absolute stereochemiatry.



IT 503026-27-5P 503090-32-2P, PH 027
RL: BSU (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

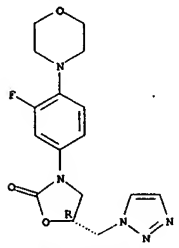
L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(synthesis and antibacterial activity of 5-substituted oxazolidinones)
RN 503026-27-5 CAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-(4-morpholinyl)phenyl]-5-[[4-[1-(methoxyimino)ethyl]-1H-1,2,3-triazol-1-yl]methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 503090-32-2 CAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-(4-morpholinyl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 503026-26-4P
RL: PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and antibacterial activity of 5-substituted oxazolidinones)
RN 503026-26-4 CAPLUS

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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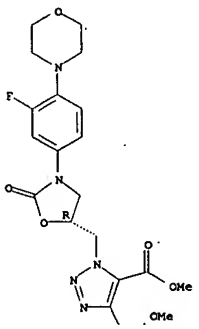


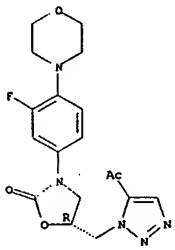
PAGE 2-A

RN 503026-29-7 CAPLUS
CN 1H-1,2,3-Triazole-4,5-dicarboxylic acid, 1-[[(5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, disodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 2-Oxazolidinone, 5-[(5-acetyl-1H-1,2,3-triazol-1-yl)methyl]-3-[3-fluoro-4-(4-morpholinyl)phenyl]-, (5R)- (9CI) (CA INDEX NAME)

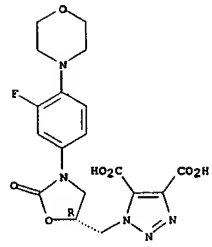
Absolute stereochemistry.



IT 503026-28-6P 503026-29-7P
RL: PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)
(synthesis and antibacterial activity of 5-substituted oxazolidinones)
RN 503026-28-6 CAPLUS
CN 1H-1,2,3-Triazole-4,5-dicarboxylic acid, 1-[[(5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



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